

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
18 December 2003 (18.12.2003)

PCT

(10) International Publication Number
WO 03/104180 A1

(51) International Patent Classification⁷: C07C 51/347, (74) Agent: PODILI KHADGAPATHI; Natco House, Road 57/30 No. 2, Banjara Hills, 500033 Hyderabad (IN).

(21) International Application Number: PCT/IN03/00159

(22) International Filing Date: 16 April 2003 (16.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
427/MAS / 2002 5 June 2002 (05.06.2002) IN

(71) Applicants (for all designated States except US): NATCO PHARMA LIMITED [IQ/IN]; Natco House, Road No.2, Banjara Hills, 500033 Hyderabad (IN). VENKAIAH CHOWDARY NANNAPANENI [IN/IN]; Natco Pharma Ltd., Natco House, Road No.2, Banjara Hills, 500033 Hyderabad (IN).

(72) Inventor; and

(75) Inventor/Applicant (for US only): PULLA REDDY, Muddasani [IN/IN]; NATCO PHARMA LTD, NATCO HOUSE, ROAD NO.2, BANJARA HILLS, 500033 HYDERABAD, ANDHRA PRADESH (IN).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

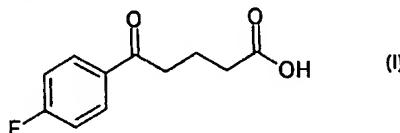
Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR THE PREPARATION OF 4-(4-FLUOROBENZOYL) BUTYRIC ACID

WO 03/104180 A1



(57) Abstract: The present invention provides an improved process for the preparation of 4-(4-Fluorobenzoyl)butyric acid of formula (I), which is prepared on a commercial scale using normal quality fluorobenzene (benzene content 300-700ppm) with the desfluoro analogue impurity at an acceptable level (less than 0.1 % by HPLC). The 4-(4-fluorobenzoyl)butyric acid has the formula (I) is a key raw material for the synthesis of anti-hyperlipoproteinemic drug ezetimibe.